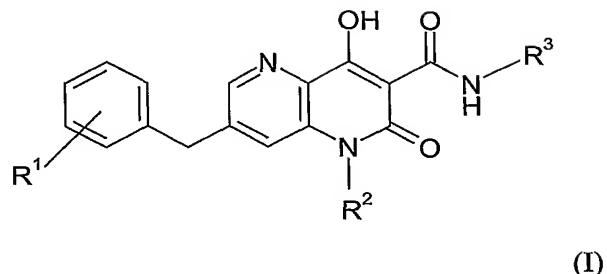


Claims

1. A compound of formula (I):

5



wherein:

10

R^1 is one or more substituents independently selected from hydrogen, hydroxy, CN, $N(R^aR^b)$, C_{1-8} alkyl, C_{3-7} cycloalkyl, halogen and C_{1-8} alkoxy;

15

R^2 is selected from hydrogen, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl, heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, halogen, CN, NO_2 , OR^a , $N(R^aR^b)$, $S(O)_mR^a$, SR^a , $OS(O)_mR^a$, $S(O)_mOR^a$, $OS(O)_mOR^a$, $N(R^a)S(O)_mR^b$, $S(O)_mN(R^aR^b)$,

20

$N(R^a)S(O)_mN(R^aR^b)$, $OS(O)_mN(R^aR^b)$, $N(R^a)S(O)_mOR^b$, $C(O)R^a$, $OC(O)R^a$, $C(O)OR^a$, $OC(O)OR^a$, $N(R^a)C(O)R^b$, $C(O)N(R^aR^b)$, $N(R^a)C(O)N(R^aR^b)$, $OC(O)N(R^aR^b)$, $N(R^a)C(O)OR^b$, $C(NR^aR^b)=N(R^a)$, $N(R^a)C(NR^aR^b)=N(R^a)$, $C(SR^a)=N(R^b)$, $C(OR^a)=N(R^b)$, $N(R^a)C(SR^a)=N(R^b)$ and heterocycle optionally substituted with oxo or R^a ;

25

or optionally when R^2 is C_{5-7} cycloalkyl, C_{6-14} aralkyl, C_{5-7} cycloalkenyl, C_{6-14} aryl or heterocycle R^2 may be fused to 5-7 membered carbocyclic or heterocyclic rings;

R^a and R^b are independently hydrogen, NO_2 , OR^c , CN, $N(R^cR^d)$, $C(O)R^c$,

$C(O)C(O)R^c$, $C(O)N(R^cR^d)$, $C(O)C(O)N(R^cR^d)$, $S(O)_mR^c$, SR^c , $S(O)_mN(R^cR^d)$, C_{1-8}

30

alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl or heterocycle, each of which may be optionally substituted with

one or more substituents independently selected from the group consisting of C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl, CN, NO₂, OR^c, N(R^cR^d), S(O)_mR^c, SR^c, OS(O)_mR^c, S(O)_mOR^c, OS(O)_mOR^c, N(R^c)S(O)_mR^d, S(O)_mN(R^cR^d), N(R^c)S(O)_mN(R^cR^d), OS(O)_mN(R^cR^d),

5 N(R^c)S(O)_mOR^d, C(O)R^c, OC(O)R^c, C(O)OR^c, OC(O)OR^c, N(R^c)C(O)R^d, C(O)N(R^cR^d), N(R^c)C(O)N(R^cR^d), OC(O)N(R^cR^d), N(R^c)C(O)OR^d, C(NR^cR^d)=N(R^c), C(SR^c)=N(R^d), C(OR^c)=N(R^d) and heterocycle;

10 Optionally, R^a and R^b may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(R^cR^d), C(O), S(O)_m, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

15 R^c and R^d are independently hydrogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl or heterocycle;

20 Optionally, R^c and R^d may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(O) and S(O)_m, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

25 R³ is hydrogen, hydroxy, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, halogen, oxo, CN, NO₂, OR^a, N(R^aR^b), S(O)_mR^a, SR^a, OS(O)_mR^a, S(O)_mOR^a, OS(O)_mOR^a, N(R^a)S(O)_mR^b, S(O)_mN(R^aR^b), N(R^a)S(O)_mN(R^aR^b), OS(O)_mN(R^aR^b), N(R^a)S(O)_mOR^b, C(O)R^a, OC(O)R^a, C(O)OR^a, OC(O)OR^a, N(R^a)C(O)R^b, C(O)N(R^aR^b), N(R^a)C(O)N(R^aR^b), OC(O)N(R^aR^b), N(R^a)C(O)OR^b, C(NR^a)=N(R^b), C(SR^a)=N(R^b), C(OR^a)=N(R^b), N(R^a)C(NR^aR^b)=N(R^a), N(R^a)C(SR^a)=N(R^b), N(R^a)C(OR^a)=N(R^b), and heterocycle optionally substituted by

30 oxo or R^a;

m is 1 or 2;

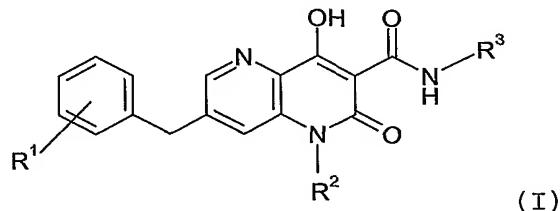
or a pharmaceutically acceptable salt thereof, provided that:

35 (a) when R¹ and R² are both hydrogen, then R³ cannot be C₁₋₈alkyl substituted with N(R^aR^b) where R^a and R^b are both C₁₋₈ alkyl;

(b) when R^1 is halogen and R^2 is C_{1-8} alkyl, C_{1-8} alkyl substituted with $C(O)R^a$ where R^a is C_{1-8} alkyl, or R^2 is C_{1-8} alkyl substituted with $S(O)_mR^a$ where R^a is C_{1-8} alkyl and m is 2, then R^3 cannot be C_{1-8} alkyl or C_{1-8} alkyl substituted with OR^a where R^a is C_{1-8} alkyl.

5

2. A compound of formula (I)



wherein:

10 R^1 is hydrogen or halogen;
 R^2 is
 (a) hydrogen;
 (b) C_{1-8} alkyl optionally substituted with C_{3-7} cycloalkyl, OR^a , $N(R^aR^b)$, $C(O)R^a$, $C(O)N(R^aR^b)$, or heterocycle optionally substituted with oxo or R^a ; or
15 (c) C_{6-14} aralkyl optionally substituted with $S(O)_mR^a$ or R^a ; wherein m is 2;

20 R^3 is
 (a) C_{1-8} alkyl optionally substituted with C_{1-8} alkyl, C_{3-7} cycloalkyl, OR^a , SR^a , $C(O)N(R^aR^b)$, $NR^aC(O)R^b$, or heterocycle optionally substituted with oxo or R^a ;
 (b) C_{3-7} cycloalkyl;
 (c) C_{1-8} haloalkyl;

25 (d) heterocycle optionally substituted with oxo; or
 (e) $N(R^aR^b)$;

wherein: R^a and R^b are independently hydrogen, OR^c , SR^c , C_{1-8} alkyl, C_{6-14} aryl or heterocycle, each of which each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8}

haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl, CN, NO₂, OR^c, N(R^cR^d), S(O)_mR^c, SR^c, OS(O)_mR^c, S(O)_mOR^c, OS(O)_mOR^c, N(R^c)S(O)_mR^d, S(O)_mN(R^cR^d), N(R^c)S(O)_mN(R^cR^d), OS(O)_mN(R^cR^d), N(R^c)S(O)_mOR^d, C(O)R^c, OC(O)R^c, C(O)OR^c, OC(O)OR^c, N(R^c)C(O)R^d, 5 C(O)N(R^cR^d), N(R^c)C(O)N(R^cR^d), OC(O)N(R^cR^d), N(R^c)C(O)OR^d, C(NR^cR^d)=N(R^c), C(SR^c)=N(R^d), C(OR^c)=N(R^d) and heterocycle; wherein R^c is hydrogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl or heterocycle;

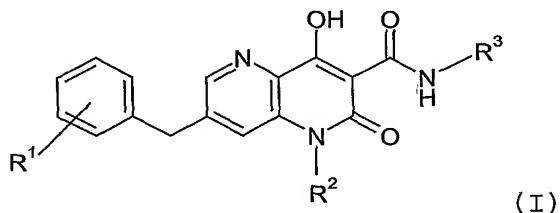
10 R^c and R^d are independently hydrogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl or heterocycle;

or a pharmaceutically acceptable salt thereof provided that

(a) when R¹ and R² are both hydrogen, then R³ cannot be C₁₋₈alkyl substituted 15 with N(R^aR^b) where R^a and R^b are both C₁₋₈ alkyl;

(b) when R¹ is halogen and R² is C₁₋₈ alkyl, C₁₋₈ alkyl substituted with C(O)R^a where R^a is C₁₋₈ alkyl, then R³ cannot be C₁₋₈ alkyl or C₁₋₈ alkyl substituted with OR^a where R^a is C₁₋₈ alkyl.

20 3. A compound of formula (I)



wherein:

R¹ is hydrogen or halogen;

25 R² is

(a) hydrogen;

(b) C₁₋₈alkyl optionally substituted with C₃₋₇cycloalkyl, OR^a, N(R^aR^b), C(O)R^a, C(O)N(R^aR^b), or heterocycle optionally substituted with oxo or R^a; or

(c) C_{6-14} aralkyl optionally substituted with $S(O)_mR^a$ or R^a ; wherein m is 2;

R^3 is

5 (a) C_{1-8} alkyl optionally substituted with C_{1-8} alkyl, C_{3-7} cycloalkyl, OR^a , SR^a , $C(O)N(R^aR^b)$, $NR^aC(O)R^b$, or heterocycle optionally substituted with oxo or R^a ;
 (b) C_{3-7} cycloalkyl;
 (c) C_{1-8} haloalkyl;
 10 (d) heterocycle optionally substituted with oxo; or
 (e) $N(R^aR^b)$;

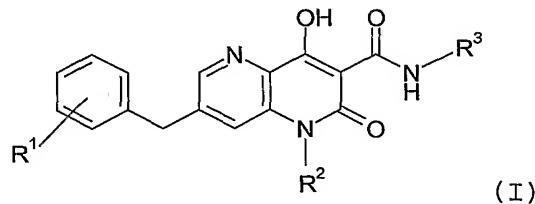
wherein R^a and R^b are independently hydrogen, NO_2 , OR^c , $C(O)R^c$, C_{1-8} alkyl optionally substituted with OR^c , C_{6-14} aryl or heterocycle;

15 wherein R^c is hydrogen, C_{1-8} alkyl or C_{6-14} aryl;

or a pharmaceutically acceptable salt thereof provided that

20 (a) when R^1 and R^2 are both hydrogen, then R^3 cannot be C_{1-8} alkyl substituted with $N(R^aR^b)$ where R^a and R^b are both C_{1-8} alkyl;
 (b) when R^1 is halogen and R^2 is C_{1-8} alkyl, C_{1-8} alkyl substituted with $C(O)R^a$ where R^a is C_{1-8} alkyl, then R^3 cannot be C_{1-8} alkyl or C_{1-8} alkyl substituted with OR^a where R^a is C_{1-8} alkyl;

25 4. A compound of formula (I)



wherein:

R^1 is hydrogen or halogen;

R^2 is

30 (a) hydrogen;

(b) C_{1-8} alkyl substituted with C_{3-7} cycloalkyl, $C(O)R^a$ wherein R^a is heterocycle, or heterocycle optionally substituted with oxo; or

(c) C_{6-14} aralkyl optionally substituted with $S(O)_mR^a$ wherein R^a is C_{1-8} alkyl and m is 2;

5

R^3 is

(a) C_{1-8} alkyl optionally substituted with C_{1-8} alkyl, C_{3-7} cycloalkyl, OR^a , SR^a , $C(O)N(R^aR^b)$, $NR^aC(O)R^b$, or heterocycle optionally substituted with oxo or R^a ; wherein R^a and R^b are independently hydrogen, NO_2 , OR^c ,

10 $C(O)R^c$, C_{1-8} alkyl optionally substituted with OR^c , C_{6-14} aryl or heterocycle;

(b) C_{3-7} cycloalkyl;

(c) C_{1-8} haloalkyl;

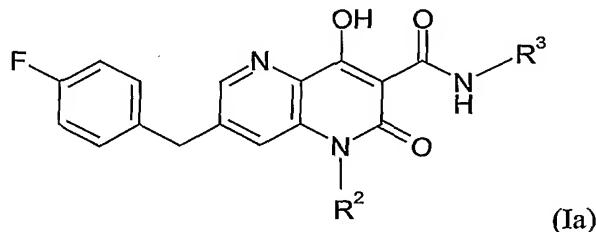
(d) heterocycle optionally substituted with oxo; or

15 (e) $N(R^aR^b)$ wherein R^a and R^b are independently hydrogen, NO_2 , OR^c , $C(O)R^c$, C_{1-8} alkyl optionally substituted with OR^c , C_{6-14} aryl or heterocycle;

wherein R^c is hydrogen, C_{1-8} alkyl or C_{6-14} aryl;

20 or a pharmaceutically acceptable salt thereof.

5. A compound of formula (Ia)



25

wherein:

R^2 is selected from hydrogen, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl, heterocycle, each of which

may be optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, halogen, CN, NO₂, OR^a, N(R^aR^b), S(O)_mR^a, SR^a, OS(O)_mR^a, S(O)_mOR^a, OS(O)_mOR^a, N(R^a)S(O)_mR^b, S(O)_mN(R^aR^b),

5 N(R^a)S(O)_mN(R^aR^b), OS(O)_mN(R^aR^b), N(R^a)S(O)_mOR^b, C(O)R^a, OC(O)R^a, C(O)OR^a, OC(O)OR^a, N(R^a)C(O)R^b, C(O)N(R^aR^b), N(R^a)C(O)N(R^aR^b), OC(O)N(R^aR^b), N(R^a)C(O)OR^b, C(NR^aR^b)=N(R^a), N(R^a)C(NR^aR^b)=N(R^a), C(SR^a)=N(R^b), C(OR^a)=N(R^b), N(R^a)C(SR^a)=N(R^b) and heterocycle optionally substituted with oxo or R^a;

10 or optionally when R² is C₅₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₅₋₇ cycloalkenyl, C₆₋₁₄ aryl or heterocycle R² may be fused to 5-7 membered carbocyclic or heterocyclic rings;

R^a and R^b are independently hydrogen, NO₂, OR^c, CN, N(R^cR^d), C(O)R^c, C(O)C(O)R^c, C(O)N(R^cR^d), C(O)C(O)N(R^cR^d), S(O)_mR^c, SR^c, S(O)_mN(R^cR^d), C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl or heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl, CN, NO₂, OR^c, N(R^cR^d), S(O)_mR^c, SR^c, OS(O)_mR^c, S(O)_mOR^c, OS(O)_mOR^c, N(R^c)S(O)_mR^d, S(O)_mN(R^cR^d), N(R^c)S(O)_mN(R^cR^d), OS(O)_mN(R^cR^d), N(R^c)S(O)_mOR^d, C(O)R^c, OC(O)R^c, C(O)OR^c, OC(O)OR^c, N(R^c)C(O)R^d, C(O)N(R^cR^d), N(R^c)C(O)N(R^cR^d), OC(O)N(R^cR^d), N(R^c)C(O)OR^d, C(NR^cR^d)=N(R^c), C(SR^c)=N(R^d), C(OR^c)=N(R^d) and heterocycle;

25 Optionally, R^a and R^b may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(R^cR^d), C(O), S(O)_m, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

30 R^c and R^d are independently hydrogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₃₋₇ cycloalkyl, C₆₋₁₄ aralkyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkenyl, C₃₋₆ alkynyl, C₆₋₁₄ aryl or heterocycle;

Optionally, R^c and R^d may be linked together through one or more ring carbon atoms and/or ring heteroatoms including N, O, C(O) and S(O)_m, or S to form a saturated or unsaturated 3 to 8 membered carbocyclic or heterocyclic ring;

R^3 is hydrogen, hydroxy, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, $N(R^aR^b)$, or heterocycle, each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl,

5 C_{3-6} alkynyl, halogen, oxo, CN, NO_2 , OR^a , $N(R^aR^b)$, $S(O)_mR^a$, SR^a , $OS(O)_mR^a$,
 $S(O)_mOR^a$, $OS(O)_mOR^a$, $N(R^a)S(O)_mR^b$, $S(O)_mN(R^aR^b)$, $N(R^a)S(O)_mN(R^aR^b)$,
 $OS(O)_mN(R^aR^b)$, $N(R^a)S(O)_mOR^b$, $C(O)R^a$, $OC(O)R^a$, $C(O)OR^a$, $OC(O)OR^a$,
 $N(R^a)C(O)R^b$, $C(O)N(R^aR^b)$, $N(R^a)C(O)N(R^aR^b)$, $OC(O)N(R^aR^b)$, $N(R^a)C(O)OR^b$,
 $C(NR^a)=N(R^b)$, $C(SR^a)=N(R^b)$, $C(OR^a)=N(R^b)$, $N(R^a)C(NR^aR^b)=N(R^a)$,

10 $N(R^a)C(SR^a)=N(R^b)$, $N(R^a)C(OR^a)=N(R^b)$, and heterocycle optionally substituted by oxo or R^a ;

m is 1 or 2;

15 or a pharmaceutically acceptable salt thereof, provided that:
when R^2 is C_{1-8} alkyl, C_{1-8} alkyl substituted with $C(O)R^a$ where R^a is C_{1-8} alkyl, or
 R^2 is C_{1-8} alkyl substituted with $S(O)_mR^a$ where R^a is C_{1-8} alkyl and m is 2, then R^3
cannot be C_{1-8} alkyl or C_{1-8} alkyl substituted with OR^a where R^a is C_{1-8} alkyl.

20 6. A compound of formula (Ia) according to claim 5 wherein:

R^2 is

25 (a) hydrogen;
(b) C_{1-8} alkyl optionally substituted with C_{3-7} cycloalkyl, OR^a , $N(R^aR^b)$,
 $C(O)R^a$, $C(O)N(R^aR^b)$, or heterocycle optionally substituted with oxo
or R^a ; or
(c) C_{6-14} aralkyl optionally substituted with $S(O)_mR^a$ or R^a , wherein m
is 2;

R^3 is

30 (a) C_{1-8} alkyl optionally substituted with C_{1-8} alkyl, C_{3-7} cycloalkyl, OR^a ,
 SR^a , $C(O)N(R^aR^b)$, $NR^aC(O)R^b$, or heterocycle optionally substituted
with oxo or R^a ;
(b) C_{3-7} cycloalkyl;
(c) C_{1-8} haloalkyl;

- (d) heterocycle optionally substituted with oxo; or
- (e) $N(R^aR^b)$;

wherein R^a and R^b are independently hydrogen, OR^c , SR^c , C_{1-8} alkyl, C_{6-14} aryl or heterocycle, each of which each of which may be optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl, CN , NO_2 , OR^c , $N(R^cR^d)$, $S(O)_mR^c$, SR^c , $OS(O)_mR^c$, $S(O)_mOR^c$, $OS(O)_mOR^c$, $N(R^c)S(O)_mR^d$, $S(O)_mN(R^cR^d)$, $N(R^c)S(O)_mN(R^cR^d)$, $OS(O)_mN(R^cR^d)$, $10 N(R^c)S(O)_mOR^d$, $C(O)R^c$, $OC(O)R^c$, $C(O)OR^c$, $OC(O)OR^c$, $N(R^c)C(O)R^d$, $C(O)N(R^cR^d)$, $N(R^c)C(O)N(R^cR^d)$, $OC(O)N(R^cR^d)$, $N(R^c)C(O)OR^d$, $C(NR^cR^d)=N(R^c)$, $C(SR^c)=N(R^d)$, $C(OR^c)=N(R^d)$ and heterocycle; wherein R^c is hydrogen, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl or heterocycle;

15

R^c and R^d are independently hydrogen, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{3-7} cycloalkyl, C_{6-14} aralkyl, C_{2-6} alkenyl, C_{3-7} cycloalkenyl, C_{3-6} alkynyl, C_{6-14} aryl or heterocycle;

or a pharmaceutically acceptable salt thereof provided that

20 when R^2 is C_{1-8} alkyl, C_{1-8} alkyl substituted with $C(O)R^a$ where R^a is C_{1-8} alkyl, then R^3 cannot be C_{1-8} alkyl or C_{1-8} alkyl substituted with OR^a where R^a is C_{1-8} alkyl.

7. A compound of formula (Ia) according to claim 5 wherein:

25 R^2 is

- (a) hydrogen;
- (b) C_{1-8} alkyl optionally substituted with C_{3-7} cycloalkyl, OR^a , $N(R^aR^b)$, $C(O)R^a$, $C(O)N(R^aR^b)$, or heterocycle optionally substituted with oxo or R^a ; or

30 (c) C_{6-14} aralkyl optionally substituted with $S(O)_mR^a$ or R^a ; wherein m is 2;

R^3 is

- (a) C₁₋₈alkyl optionally substituted with C₁₋₈alkyl, C₃₋₇cycloalkyl, OR^a, SR^a, C(O)N(R^aR^b), NR^aC(O)R^b, or heterocycle optionally substituted with oxo or R^a;
- (b) C₃₋₇cycloalkyl;
- 5 (c) C₁₋₈haloalkyl;
- (d) heterocycle optionally substituted with oxo; or
- (e) N(R^aR^b);

wherein R^a and R^b are independently hydrogen, NO₂, OR^c, C(O)R^c, C₁₋₈alkyl optionally substituted with OR^c, C₆₋₁₄aryl or heterocycle;

10 wherein R^c is hydrogen, C₁₋₈alkyl or C₆₋₁₄aryl;

or a pharmaceutically acceptable salt thereof provided that

15 when R² is C₁₋₈alkyl, C₁₋₈alkyl substituted with C(O)R^a where R^a is C₁₋₈alkyl, then R³ cannot be C₁₋₈alkyl or C₁₋₈alkyl substituted with OR^a where R^a is C₁₋₈alkyl.

8. A compound of formula (Ia) according to claim 5 wherein:

20 R² is

- (a) hydrogen;
- (b) C₁₋₈alkyl substituted with C₃₋₇cycloalkyl, C(O)R^a wherein R^a is heterocycle, or heterocycle optionally substituted with oxo; or
- (c) C₆₋₁₄aralkyl optionally substituted with S(O)_mR^a wherein R^a is C₁₋₈alkyl and m is 2;

25 R³ is

- (a) C₁₋₈alkyl optionally substituted with C₁₋₈alkyl, C₃₋₇cycloalkyl, OR^a, SR^a, C(O)N(R^aR^b), NR^aC(O)R^b, or heterocycle optionally substituted with oxo or R^a; wherein R^a and R^b are independently hydrogen, NO₂, OR^c, C(O)R^c, C₁₋₈alkyl optionally substituted with OR^c, C₆₋₁₄aryl or heterocycle;
- (b) C₃₋₇cycloalkyl;
- (c) C₁₋₈haloalkyl;
- (d) heterocycle optionally substituted with oxo; or

(e) $N(R^aR^b)$ wherein R^a and R^b are independently hydrogen, NO_2 , OR^c , $C(O)R^c$, $C_{1-8}alkyl$ optionally substituted with OR^c , $C_{6-14}aryl$ or heterocycle;

wherein R^c is hydrogen, $C_{1-8}alkyl$ or $C_{6-14}aryl$;

5

or a pharmaceutically acceptable salt thereof.

9. A compound of formula (I) according to claim 1 wherein R^1 is one or more substituents independently selected from hydroxy, CN, $N(R^aR^b)$, $C_{1-8}alkyl$, $C_{3-7}cycloalkyl$, halogen and $C_{1-8}alkoxy$; or a pharmaceutically acceptable salt thereof.

10

10. A compound of formula (Ia) according to any of claims 5 – 7 wherein R^2 is $C_{1-8}alkyl$ optionally substituted with $C(O)N(R^aR^b)$, wherein R^a and R^b are independently hydrogen or $C_{1-8}alkyl$ and R^3 is $C_{1-8}alkyl$ optionally substituted with OR^a , wherein OR^a is hydrogen or $C_{1-8}alkyl$, or a pharmaceutically acceptable salt thereof.

15

11. A compound selected from the group consisting of:

7-(4-fluorobenzyl)-4-hydroxy- N -(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-benzyl- N -(cyclopropylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo- N -(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl- N ,4-dihydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N -Cyclopropyl-7-(4-fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25

7-(4-Fluorobenzyl)-4-hydroxy- N -(2-morpholin-4-ylethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy- N -(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30

4-Hydroxy- N -(2-methylpropyl)-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N -Cycloheptyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N-Cyclopentyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N-Cyclobutyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 4-Hydroxy-*N*-(2-(methyloxy)ethyl)-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

4-Hydroxy-2-oxo-*N*-(2-phenylethyl)-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

4-Hydroxy-2-oxo-*N*-(1-phenylethyl)-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

10 10

N-(Cyclohexylmethyl)-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N-(2-Furanylmethyl)-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

15 15

N-Cyclohexyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

4-Hydroxy-2-oxo-7-(phenylmethyl)-*N*-(2-thienylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N-Cyclopropyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20 20

N-Cyclobutyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

N-Cyclopropyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 25

7-[(4-Fluorophenyl)methyl]-*N*-(2-furanylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[3-(2-oxo-1-pyrrolidinyl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 30

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[2-(1-pyrrolidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

(\pm)-7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-(tetrahydro-2-furylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-N-[2-(1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-N-(4-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-N-(2-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-N-(3-pyridinylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-N-(hexahydro-1*H*-azepin-1-yl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

10 7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-[2-(4-morpholinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(5-Fluoro-2-pyridinyl)methyl]-4-hydroxy-N-[3-(4-morpholinyl)propyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

15 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-N-[2-(2-pyridinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-[2-(1*H*-imidazol-4-yl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20 7-Benzyl-*N*-cyclobutyl-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-*N*-cyclopropyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 7-Benzyl-*N*-cyclobutyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-*N*-(2-furylmethyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 7-Benzyl-*N*-cyclopropyl-4-hydroxy-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 7-Benzyl-4-hydroxy-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-1-(cyclopropylmethyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-10 1,5-naphthyridine-3-carboxamide;

7-Benzyl-*N*-cyclobutyl-1-(cyclopropylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide ;

7-Benzyl-*N*-cyclobutyl-4-hydroxy-1-(2-morpholin-4-ylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

15 7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-*N*-(3-morpholin-4-ylpropyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(2-pyrrolidin-1-ylethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-*N*-cyclobutyl-4-hydroxy-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-20 naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(pyridin-4-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-2-oxo-*N*-(pyridin-3-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-1-[4-(methylsulfonyl)benzyl]-*N*-(2-morpholin-4-ylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 *N*-(2-Furanylmethyl)-4-hydroxy-1-[(4-nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

4-Hydroxy-*N*-[2-(methyloxy)ethyl]-1-[(4-nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
N-Cyclobutyl-4-hydroxy-1-[(4-nitrophenyl)methyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 1-[(4-Aminophenyl)methyl]-*N*-cyclobutyl-4-hydroxy-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
and pharmaceutically acceptable salts thereof.

12. A compound selected from the group consisting of:

10 7-(4-fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
N-Cyclopropyl-7-(4-fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-morpholin-4-ylethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

15 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
4-Hydroxy-*N*-[2-(methyloxy)ethyl]-2-oxo-7-(phenylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20 7-[(4-Fluorophenyl)methyl]-4-hydroxy-2-oxo-*N*-[3-(2-oxo-1-pyrrolidinyl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(4-morpholinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 7-[(5-Fluoro-2-pyridinyl)methyl]-4-hydroxy-*N*-[3-(4-morpholinyl)propyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-1-(cyclopropylmethyl)-4-hydroxy-N-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-Benzyl-4-hydroxy-N-(2-methoxyethyl)-2-oxo-1-(1,3-thiazol-2-ylmethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 7-(4-Fluorobenzyl)-4-hydroxy-N-(2-methoxyethyl)-1-[4-(methylsulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

and pharmaceutically acceptable salts thereof.

13. A compound selected from the group consisting of:

10 7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide sodium salt;

1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-4-hydroxy-N-methyl-2-oxo-15 1,2-dihydro-1,5-naphthyridine-3-carboxamide;

Sodium 1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-3-[(methylamino)carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-[2-(methylamino)-2-oxoethyl]-N-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20 1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

Sodium 1-[2-(dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-3-({[2-(methyloxy)ethyl]amino}carbonyl)-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;

7-(4-Fluorobenzyl)-4-hydroxy-N-[(2R)-2-hydroxypropyl]-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 Sodium 7-[(4-fluorophenyl)methyl]-3-({[(2R)-2-hydroxypropyl]amino}carbonyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridin-4-olate;

7-(4-Fluorobenzyl)-4-hydroxy-N-[(2S)-2-hydroxypropyl]-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 1-(2-Amino-2-oxoethyl)-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-[2-(methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

1-(4-Fluorophenyl)-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-(2-hydroxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
Sodium 1-(4-fluorophenyl)-7-[(4-fluorophenyl)methyl]-3-{{[(2-hydroxyethyl)amino]carbonyl}-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;
5 *N*-[(2*R*)-2,3-Dihydroxypropyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-methoxyethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-*N*-[2-
10 (methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
Sodium 7-[(4-fluorophenyl)methyl]-1-[(1-methyl-1*H*-imidazol-2-yl)methyl]-3-{{[(2-methyloxy)ethyl]amino}carbonyl}-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate;
1-Ethyl-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[(1*S*)-2-hydroxy-1-methylethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
15 Sodium 1-ethyl-7-[(4-fluorophenyl)methyl]-3-{{[(1*S*)-2-hydroxy-1-methylethyl]amino}carbonyl}-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate; and
pharmaceutically acceptable salts thereof.

14. A compound selected from the group consisting of:
20 7-Benzyl-4-hydroxy-*N*-(2-methoxyethyl)-1-(2-morpholin-4-yl-2-oxoethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-[(4-Fluorophenyl)methyl]-4-hydroxy-*N*-[2-(methyloxy)ethyl]-1-[2-(4-morpholinyl)-
2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-*N*-[2-
25 (methyloxy)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-hydroxy-1-methylethyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
7-(4-Fluorobenzyl)-4-hydroxy-*N*-(2-hydroxypropyl)-2-oxo-1-[2-(2-oxopyrrolidin-1-
yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;
30 *N*-[2-(Ethyloxy)ethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-methyl-2-oxo-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-methyl-N-{2-[methyl(methylsulfonyl)amino]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-(3-hydroxypropyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

(\pm)-1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxy-1-methylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-1-(3-hydroxypropyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

10 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-(3-hydroxypropyl)-N-{2-[methyl(methylsulfonyl)amino]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

1-[2-(Dimethylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxy-1,1-dimethylethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

15 7-[(4-Fluorophenyl)methyl]-4-hydroxy-1-(3-hydroxypropyl)-N-{2-[(1-methylethyl)sulfonyl]ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

1-[2-(Cyclopropylamino)-2-oxoethyl]-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

1-{2-[[Dimethylamino]carbonyl](methyl)amino]ethyl}-7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

20 7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxy-1-methylethyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

25 7-(4-Fluorobenzyl)-4-hydroxy-N-(2-methoxyethyl)-2-oxo-1-[2-oxo-2-(1,3-thiazolidin-3-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(3-hydroxypropyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-[(2S)-2-hydroxypropyl]-1-[3-(methyloxy)propyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

30 7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(3-hydroxybutyl)-2-oxo-1-[2-(2-oxo-1-piperidinyl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-(4-Fluorobenzyl)-4-hydroxy-1-{2-[(2-methoxyethyl)amino]-2-oxoethyl}-N-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-2-oxo-1-[3-(2-oxo-1-piperidinyl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

5 7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(3-hydroxypropyl)-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

7-[(4-fluorophenyl)methyl]-4-hydroxy-N-[(1*S*)-2-hydroxy-1-methylethyl]-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide;

10 7-[(4-fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-2-oxo-1-[3-(2-oxohexahydro-1*H*-azepin-1-yl)propyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide; and pharmaceutically acceptable salts thereof.

15 15. A compound selected from the group consisting of examples numbers 2, 9, 10, 12, 17, 28, 36, 37, 45, 49, 50, 54, 62, 64, 83, 84, 85, 86, 89, 91, 93, 94, 95, 96, 97, 98, 99, 101, 102, 104, 105, 106, 107, 237 and pharmaceutically acceptable salts thereof.

16. A compound selected from the group consisting of example numbers 73, 114, 116, 122, 125, 145, 146, 148, 149, 153, 154, 155, 156, 162, 168, 169, 170, 173, 180, 185, 186, 188, 189, 190, 203, 206, 208, 209, 210, 227, 231, 234, 237, 245, 253, 260, 261, 262, 279, 292, 296, 297, 301, 302, 310, 327, 339, 340, 343, 359, 360, 363, 366, 367, 377, 380, 381, 382, 383, 394, 408, 409, 410, 411, 428, 429, 431, 434, 463, 465, 471, 472, 473, 476, 477, 484, 495, 515, 516, 519, 521, 522, 524, 525, 528, 535, 548, 549, 554, 557, 564, 566, 568, 569, 574, 576, 577, 579, 580, 581, 582, 583, 584, 588, 589, 591, 593, 595, 596, 598, 599, 601, 602, 603, 604, 624, 626, 627, 628, 629, 631, 633, 634, 636, 637, 638, 642, 646, 657, 660, 662, 663, 665, 669, 671, 673, 674, 677, 680, 681, 684, 688, 690, 691, 693, 694, 696, 697, 698 and pharmaceutically acceptable salts thereof.

30 17. A compound selected from the group consisting of example numbers 12, 36, 37, 49, 84, 89, 91, 93, 95, 96, 101, 237 and pharmaceutically acceptable salts thereof.

18. A compound selected from 7-[(4-Fluorophenyl)methyl]-4-hydroxy-N-(2-hydroxyethyl)-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide; 1-[2-(Dimethylamino)-2-oxoethyl]-7-(4-fluorobenzyl)-4-hydroxy-N-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide; and pharmaceutically acceptable salts thereof.
5
19. A compound according to any of claims 1 – 18 wherein the pharmaceutically acceptable salt is a sodium salt.
20. A method of treatment of a viral infection in a human comprising
10 administering to said human an antiviral effective amount of a compound according to any of claims 1 to 18.
21. A method according to claim 20 wherein the viral infection is a HIV infection.
15
22. A compound as claimed in any of claims 1 to 18 for use in medical therapy.
23. Use of a compound as claimed in any of claims 1 to 18 in the manufacture of a medicament for the treatment or prophylaxis of a virus infection.
20
24. The use according to claim 23 wherein the viral infection is a HIV infection.
25. A pharmaceutical composition comprising an effective amount of a compound according to any of claims 1 to 18 together with a pharmaceutically acceptable carrier.
25
26. A pharmaceutical composition according to claim 25 in the form of a tablet or capsule.
27. A pharmaceutical composition according to claim 25 in the form of a liquid or
30 suspension.

28. A method of treatment of a viral infection in a human comprising administering to said human a composition comprising a compound according to any of claims 1 to 18 and another therapeutic agent.

5 29. The method according to claim 28 wherein the viral infection is an HIV infection.

30. A composition according to claim 25, wherein said composition comprises at least one additional therapeutic agent selected from the group consisting of (1-alpha, 10 2-beta, 3-alpha)-9-[2,3-bis(hydroxymethyl)cyclobutyl]guanine [(-)BHCG, SQ-34514, lobucavir], 9-[(2R,3R,4S)-3,4-bis(hydroxymethyl)-2-oxetanosyl]adenine (oxetanocin-G), TMC-114, BMS-232632, acyclic nucleosides [e.g. acyclovir, valaciclovir, famciclovir, ganciclovir, penciclovir], acyclic nucleoside phosphonates [e.g. (S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine (HPMPC), [[[2-(6-amino-9H-purin- 15 9-yl)ethoxy]methyl]phosphinylidene]bis(oxymethylene)-2,2-dimethylpropanoic acid (bis-POM PMEA, adefovir dipivoxil), [(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid (tenofovir), (R)-[[2-(6-Amino-9H-purin-9-yl)-1-methylethoxy]methyl]phosphonic acid bis-(isopropoxycarbonyloxymethyl)ester (bis-POC-PMPA)], ribonucleotide reductase inhibitors (e.g. 2-acetylpyridine 5-[(2-chloroanilino)thiocarbonyl] thiocarbonohydrazone and hydroxyurea), nucleoside 20 reverse transcriptase inhibitors (e.g. , 3'-azido-3'-deoxythymidine (AZT, zidovudine), 2',3'-dideoxycytidine (ddC, zalcitabine), 2',3'-dideoxyadenosine, 2',3'-dideoxyinosine (ddI, didanosine), 2',3'-didehydrothymidine (d4T, stavudine), (-)-beta-D-2,6-diaminopurine dioxolane (DAPD), 3'-Azido-2',3'-dideoxythymidine-5'-H- 25 phosphophonate (phosphonovir), 2'-deoxy-5-iodo-uridine (idoxuridine), as (-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-cytosine (lamivudine), or cis-1-(2-hydroxymethyl)-1,3-oxathiolan-5-yl)-5-fluorocytosine (FTC), 3'-deoxy-3'-fluorothymidine, 5-chloro-2',3'-dideoxy-3'-fluorouridine, (-)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir), , 9-[4- 30 hydroxy-2-(hydroxymethyl)but-1-yl]-guanine (H2G), ABT-606 (2HM-H2G) and ribavirin), protease inhibitors (e.g. indinavir, ritonavir, nelfinavir, amprenavir, saquinavir, (R)-N-tert-butyl-3-[(2S,3S)-2-hydroxy-3-N-[(R)-2-N-(isoquinolin-5-

yloxyacetyl)amino-3-methylthiopropanoyl]amino-4-phenylbutanoyl]-5,5- dimethyl-1,3-thiazolidine-4-carboxamide (KNI-272), 4R-(4alpha,5alpha,6beta)]-1,3-bis[(3-aminophenyl)methyl]hexahydro-5,6-dihydroxy-4,7-bis(phenylmethyl)-2H-1,3-diazepin-2-one dimethanesulfonate (mozenavir), 3-[1-[3-[2-(5-
5 trifluoromethylpyridinyl)-sulfonylamino]phenyl]propyl]-4- hydroxy-6alpha-phenethyl-6beta-propyl-5,6-dihydro-2-pyranone (tipranavir), N'-[2(S)-Hydroxy-3(S)-[N-(methoxycarbonyl)-1-tert-leucylamino]-4- phenylbutyl-N ^{alpha}-(methoxycarbonyl)-N'-[4-(2-pyridyl)benzyl]-L- tert-leucylhydrazide (BMS-232632), 3-(2(S)-Hydroxy-3(S)-(3-hydroxy-2-methylbenzamido)-4-phenylbutanoyl)-5,5-dimethyl-N-(2-
10 methylbenzyl)thiazolidine-4(R)-carboxamide (AG-1776), N-(2(R)-Hydroxy-1(S)-indanyl)-2(R)-phenyl-methyl-4(S)-hydroxy-5-(1-(1-(4-benzo[b]furanyl)methyl)-2(S)-N'-(tert-butylcarboxamido)piperazinyl)pentanamide (MK-944A), and GW 433908),
interferons such as α -interferon, renal excretion inhibitors such as probenecid, nucleoside transport inhibitors such as dipyridamole; pentoxifylline, N-acetylcysteine
15 (NAC), Procysteine, α -trichosanthin, phosphonoformic acid, as well as immunomodulators such as interleukin II or thymosin, granulocyte macrophage colony stimulating factors, erythropoetin, soluble CD₄ and genetically engineered derivatives thereof, non-nucleoside reverse transcriptase inhibitors (NNRTIs) for example, TMC-120, TMC-125, nevirapine (BI-RG-587), alpha-((2-acetyl-5-
20 methylphenyl)amino)-2,6-dichloro-benzeneacetamide (loviride), 1-[3-(isopropylamino)-2-pyridyl]-4-[5-(methanesulfonamido)-1H-indol-2-ylcarbonyl]piperazine monomethanesulfonate (delavirdine), (10R, 11S, 12S)-12-Hydroxy-6, 6, 10, 11-tetramethyl-4-propyl-11,12-dihydro-2H, 6H, 10H-benzo(1, 2-b:3, 4-b':5, 6-b")tropyran-2-one ((+) calanolide A), (4S)-6-Chloro-4-[1E)-
25 cyclopropylethenyl)-3,4- dihydro-4-(trifluoromethyl)-2(1H)-quinazolinone (DPC-083), 1-(ethoxymethyl)-5-(1-methylethyl)-6-(phenylmethyl)-2,4(1H,3H)-pyrimidinedione (MKC-442), 5-(3,5-dichlorophenyl)thio-4-isopropyl-1-(4-pyridyl)methyl-1H-imidazol-2-ylmethyl carbamate (capravirine), glycoprotein 120 antagonists [e.g. PRO-2000, PRO-542 and 1,4-bis[3-[2, 4-
30 dichlorophenyl]carbonylamino]-2-oxo-5,8-disodiumsulfanyl]naphthalyl-2, 5-dimethoxyphenyl-1, 4-dihydrazone (FP-21399)], cytokine antagonists [e.g. reticulose (Product-R), 1,1'-azobis-formamide (ADA), and 1,11-(1,4-

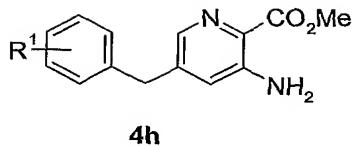
phenylenebis(methylene))bis-1,4,8,11-tetraazacyclotetradecane octahydrochloride (AMD-3100)], and fusion inhibitors for example T-20 and T-124.

31. A method according to claim 28, wherein said therapeutic agent is selected
5 from the group consisting of (1-alpha, 2-beta, 3-alpha)-9-[2,3-
bis(hydroxymethyl)cyclobutyl]guanine [(-)BHCG, SQ-34514, lobucavir], 9-
[(2R,3R,4S)-3,4-bis(hydroxymethyl)-2-oxetanosyl]adenine (oxetanocin-G), acyclic
nucleosides [e.g. acyclovir, valaciclovir, famciclovir, ganciclovir, penciclovir],
acyclic nucleoside phosphonates [e.g. (S)-1-(3-hydroxy-2-phosphonyl-
10 methoxypropyl)cytosine (HPMPc), [[[2-(6-amino-9H-purin-9-
yl)ethoxy]methyl]phosphinylidene]bis(oxymethylene)-2,2-dimethylpropanoic acid
(bis-POM PMEA, adefovir dipivoxil), [[(1R)-2-(6-amino-9H-purin-9-yl)-1-
methylethoxy]methyl]phosphonic acid (tenofovir), (R)-[[2-(6-Amino-9H-purin-9-yl)-
15 1-methylethoxy]methyl]phosphonic acid bis-(isopropoxycarbonyloxymethyl)ester
(bis-POC-PMPA)], ribonucleotide reductase inhibitors (e.g. 2-acetylpyridine 5-[(2-
chloroanilino)thiocarbonyl) thiocarbonohydrazone and hydroxyurea], nucleoside
reverse transcriptase inhibitors (e.g. , 3'-azido-3'-deoxythymidine (AZT, zidovudine),
2',3'-dideoxycytidine (ddC, zalcitabine), 2',3'-dideoxyadenosine, 2',3'-
20 dideoxyinosine (ddI, didanosine), 2',3'-didehydrothymidine (d4T, stavudine), (-)-
beta-D-2,6-diaminopurine dioxolane (DAPD), 3'-Azido-2',3'-dideoxythymidine-5'-H-
phosphophonate (phosphonovir), 2'-deoxy-5-iodo-uridine (idoxuridine), as (-)-cis-1-
(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-cytosine (lamivudine), or cis-1-(2-
(hydroxymethyl)-1,3-oxathiolan-5-yl)-5-fluorocytosine (FTC), 3'-deoxy-3'-
fluorothymidine, 5-chloro-2',3'-dideoxy-3'-fluorouridine, (-)-cis-4-[2-amino-6-
25 (cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir), , 9-[4-
hydroxy-2-(hydroxymethyl)but-1-yl]-guanine (H2G), ABT-606 (2HM-H2G) and
ribavirin), protease inhibitors (e.g. indinavir, ritonavir, nelfinavir, amprenavir,
saquinavir, (R)-N-tert-butyl-3-[(2S,3S)-2-hydroxy-3-N-[(R)-2-N-(isoquinolin-5-
yloxyacetyl)amino-3-methylthiopropanoyl]amino-4-phenylbutanoyl]-5,5- dimethyl-
30 1,3-thiazolidine-4-carboxamide (KNI-272), 4R-(4alpha,5alpha,6beta)]-1,3-bis[(3-
aminophenyl)methyl]hexahydro-5,6-dihydroxy-4,7-bis(phenylmethyl)-2H-1,3-
diazepin-2-one dimethanesulfonate (mozenavir), 3-[1-[3-[2-(5-

trifluoromethylpyridinyl)-sulfonylamino]phenyl]propyl]-4- hydroxy-6alpha-phenethyl-6beta-propyl-5,6-dihydro-2-pyranone (tipranavir), N'-[2(S)-Hydroxy-3(S)-[N-(methoxycarbonyl)-1-tert-leucylamino]-4- phenylbutyl-N ^{alpha}-(methoxycarbonyl)-N'-[4-(2-pyridyl)benzyl]-L- tert-leucylhydrazide (BMS-232632), 3-(2(S)-Hydroxy-3(S)-(3-hydroxy-2-methylbenzamido)-4-phenylbutanoyl)-5,5-dimethyl-N-(2-methylbenzyl)thiazolidine-4(R)-carboxamide (AG-1776), N-(2(R)-Hydroxy-1(S)-indanyl)-2(R)-phenyl-methyl-4(S)-hydroxy-5-(1-(1-(4-benzo[b]furanyl)methyl)-2(S)-N'-(tert-butylcarboxamido)piperazinyl)pentanamide (MK-944A), and GW 433908, interferons such as α -interferon, renal excretion inhibitors such as probenecid, 10 nucleoside transport inhibitors such as dipyridamole; pentoxifylline, N-acetylcysteine (NAC), Procysteine, α -trichosanthin, phosphonoformic acid, as well as immunomodulators such as interleukin II or thymosin, granulocyte macrophage colony stimulating factors, erythropoetin, soluble CD4 and genetically engineered derivatives thereof, non-nucleoside reverse transcriptase inhibitors (NNRTIs) [e.g. 15 nevirapine (BI-RG-587), alpha-((2-acetyl-5-methylphenyl)amino)-2,6-dichlorobenzeneacetamide (loviride), 1-[3-(isopropylamino)-2-pyridyl]-4-[5-(methanesulfonamido)-1H-indol-2-ylcarbonyl]piperazine monomethanesulfonate (delavirdine), (10R, 11S, 12S)-12-Hydroxy-6, 6, 10, 11-tetramethyl-4-propyl-11,12-dihydro-2H, 6H, 10H-benzo(1, 2-b:3, 4-b':5, 6-b")tropyran-2-one ((+) calanolide A), 20 (4S)-6-Chloro-4-[1E]-cyclopropylethenyl)-3,4- dihydro-4-(trifluoromethyl)-2(1H)-quinazolinone (DPC-083), 1-(ethoxymethyl)-5-(1-methylethyl)-6-(phenylmethyl)-2,4(1H,3H)-pyrimidinedione (MKC-442), 5-(3,5-dichlorophenyl)thio-4-isopropyl-1-(4-pyridyl)methyl-1H-imidazol-2-ylmethyl carbamate (capravirine)], glycoprotein 120 antagonists [e.g. PRO-2000, PRO-542 and 1,4-bis[3-[(2, 4-dichlorophenyl)carbonylamino]-2-oxo-5,8-disodiumsulfanyl]naphthalyl-2, 5-dimethoxyphenyl-1, 4-dihydrazone (FP-21399)], cytokine antagonists [e.g. reticulose (Product-R), 1,1'-azobis-formamide (ADA), and 1,11-(1,4-phenylenebis(methylene))bis-1,4,8,11-tetraazacyclotetradecane octahydrochloride (AMD-3100)], and fusion inhibitors (e.g. T-20 and T-1249).

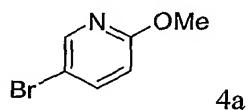
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32. A process for the preparation of a compound of formula 4h



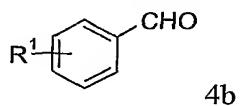
wherein R¹ is one or more substituents independently selected from hydrogen, hydroxy, CN, N(R^aR^b), C₁₋₈alkyl, C₃₋₇ cycloalkyl, halogen and C₁₋₈ alkoxy, wherein R^a and R^b are independently hydrogen, NO₂, OR^c, C(O)R^c, C₁₋₈alkyl optionally substituted with OR^c, C₆₋₁₄aryl, S(O)₂mR^c or heterocycle, wherein R^c is hydrogen, C₁₋₈alkyl, or C₆₋₁₄aryl and wherein m is 1 or 2; comprising:

(a) treating a compound of formula 4a

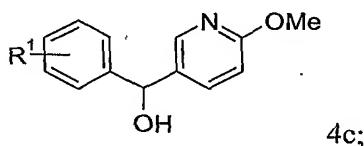


10 with alkylolithium reagents or magnesium;

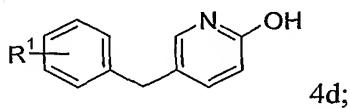
(b) reacting a compound of formula 4a with a compound of formula 4b



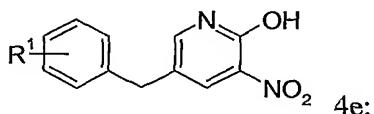
to form a compound of formula 4c



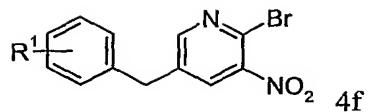
15 (c) reducing a compound of formula 4c to form a compound of formula 4d



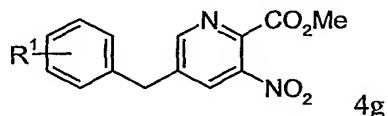
(d) nitrating a compound of formula 4d in an acid solvent to form a compound of formula 4e



20 (e) treating a compound of formula 4e with phosphorous oxybromide in an inert solvent to form a compound of formula 4f



(f) carbonylating a compound of formula 4f in the presence of palladium to form a compound of formula 4g



5 (g) reducing a compound of formula 4g to form a compound of formula 4h.